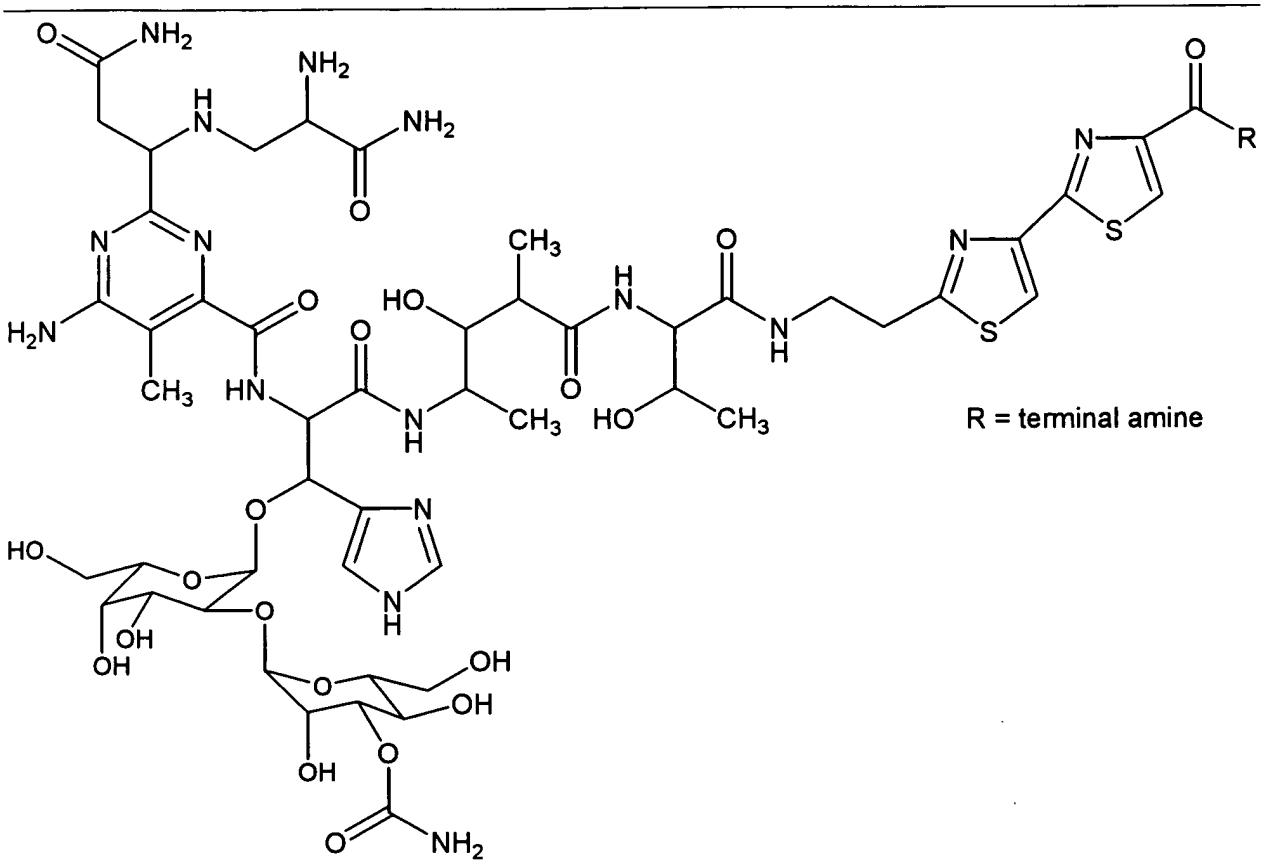
Monograph number: 1351.
Title: Bleomycins.
Drug code(s): NSC-125066.
Literature references: A group of related glycopeptide antibiotics. Variations in the terminal amine account for differing activities. Isolated from *Streptomyces verticillus:* Umezawa, *Antimicrob. Ag. Chemother.* **1965,** 1079. Purification and separation into bleomycins A and B and their components: Umezawa *et al., J. Antibiot.* **19,** 200, 210 (1966); T. Takita *et al., ibid.* **21,** 79 (1968); **22,** 237 (1969). Bleomycin A_2 is the main component of the bleomycin employed clinically. Total structure elucidation: T. Takita *et al., ibid.* **25,** 755 (1972). Revised structure: *eidem, ibid.* **31,** 801 (1978). Terminal amines: Fujii *et al., ibid.* **26,** 398 (1973). Synthesis of new bleomycins: T. Takita *et al., ibid.* 254. Total synthesis of bleomycin A_2: *eidem, Tetrahedron Letters* **23,** 521 (1982). Improved total synthesis: S. Saito *et al., J. Antibiot.* **36,** 92 (1983). Biosynthesis: Fujii *et al., ibid.* **27,** 73 (1974). Bleomycins are believed to react with DNA and cause strand scission; they have also been shown to have a type of oxygen transferase activity. Mechanism of action studies: R. M. Burger *et al., Life Sci.* **28,** 715 (1981); N. Marugesan *et al., J. Biol. Chem.* **257,** 8600 (1982). Coordination chemistry: J. C. Dabrowiak, *J. Inorg. Biochem.* **13,** 317 (1980). Clinical pharmacology: S. T. Crooke, *Cancer Chemother.* **3,** 343 (1981). Characterization of analogs: N. J. Oppenheimer *et al., J. Biol. Chem.* **257,** 1606 (1982). *Reviews:* H. Umezawa, *Pure Appl. Chem.* **28,** 665-680 (1971); C. W. Haidle, R. S. Lloyd, *Antibiotics* **vol. 5**(pt. 2), F. E. Hahn, Ed. (Springer-Verlag, New York, 1979) pp 124-154; H. Umezawa, *Anticancer Agents Based on Natural Product Models,* J. M. Cassady, J. D. Douros, Eds. (Academic Press, New York, 1980) pp 147-166.



Properties: Colorless or yellowish powder which becomes bluish depending on Cu content. Very sol in water, methanol; slightly sol in ethanol. Practically insol in acetone, ethyl acetate, butyl acetate, ether. uv max: 244-248 , 289-294 nm ($E^{1\%}_{1cm}$ 121-148, 102-121.5) .

UV Maxima: 244-248; 289-294

Derivative: Sulfate,
CAS Registry: [9041-93-4]
Trade name(s): ***Blenoxane (Bristol-Myers Squibb) , Bleo (Nippon Kayaku)*** .

Derivative: Bleomycin A_2 ,
Molecular Formula: $C_{55}H_{84}N_{17}O_{21}S_3$,
CAS Registry: [11116-31-7]
Additional name(s): ***N^1-[3-(dimethylsulfonio)propyl]bleomycinamide.***
Bleomycin A_2

THERAP CAT: Antineoplastic.